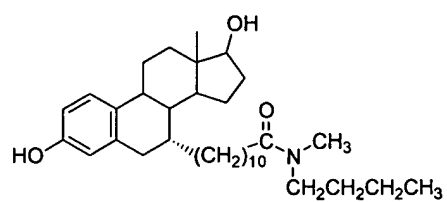
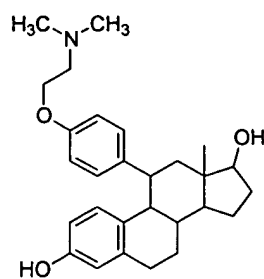


Figure 1

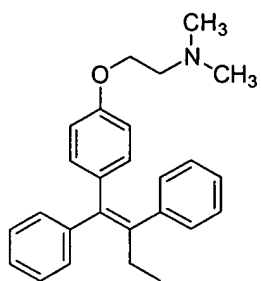


ICI 164,384

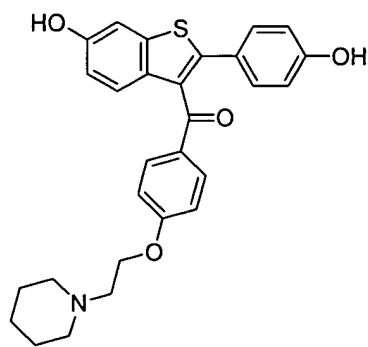


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Figure 2

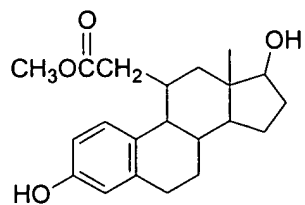


Tamoxifen

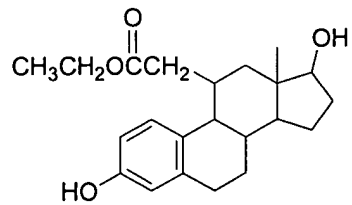


Raloxifene

Figure 3



E11-2,1



E11-2,2

Figure 4

Estrogen Receptor Competition assay

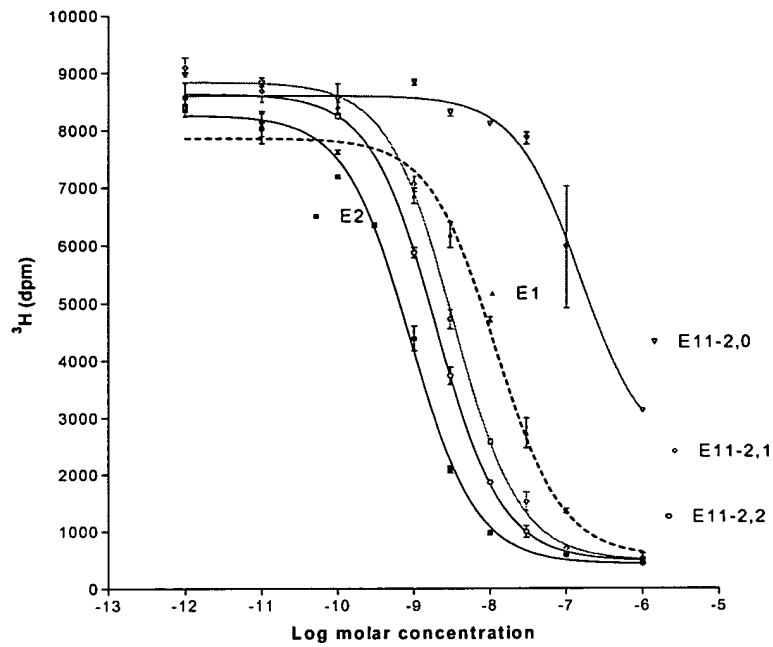


Figure 5

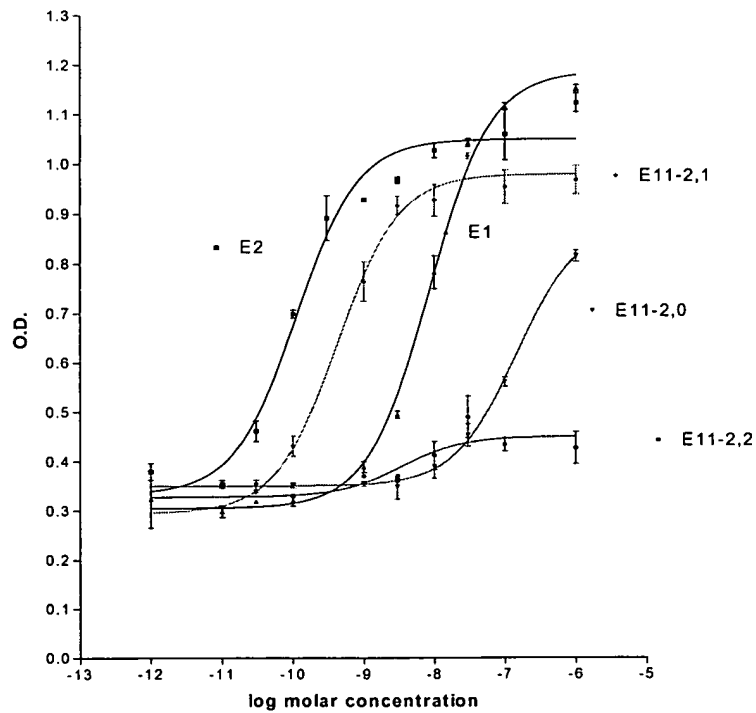


Figure 6

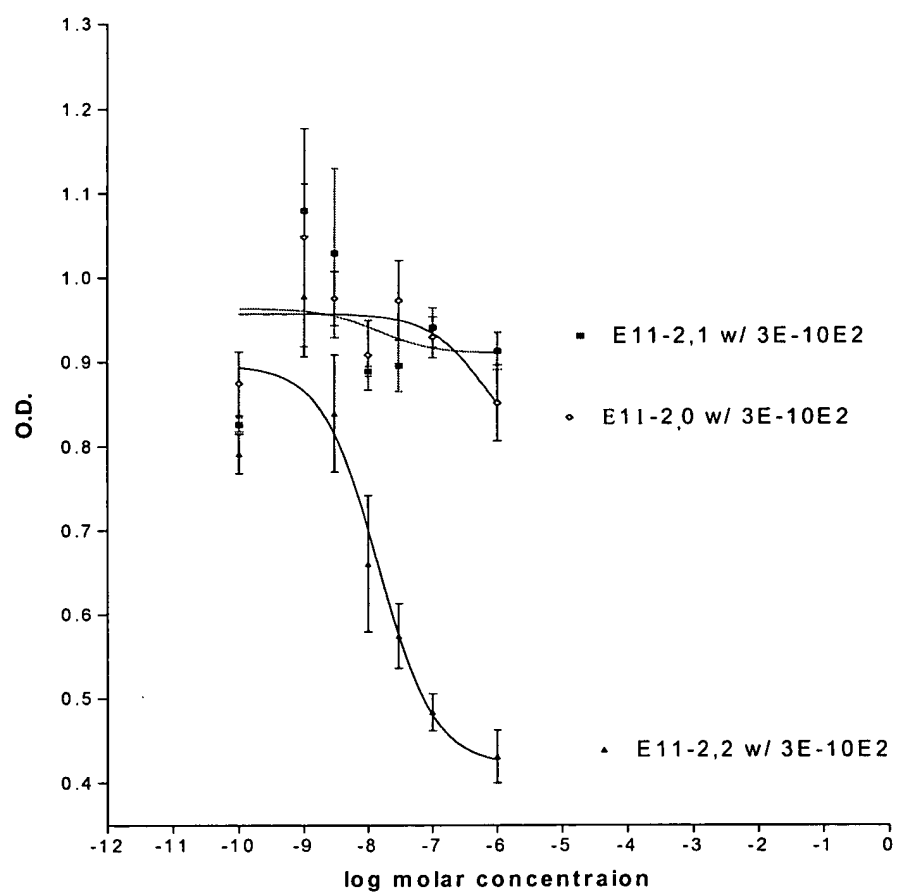


Figure 7

in vivo Uterotrophic Assay

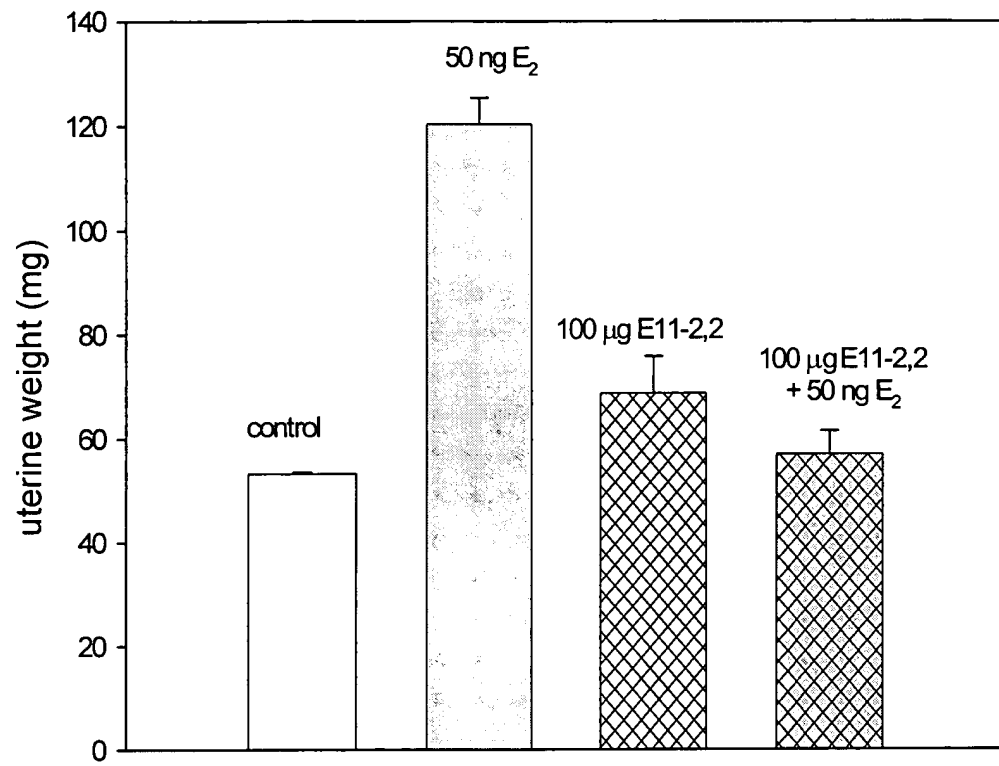
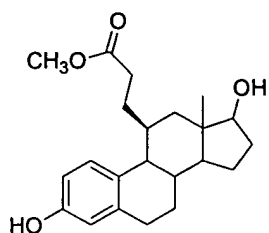
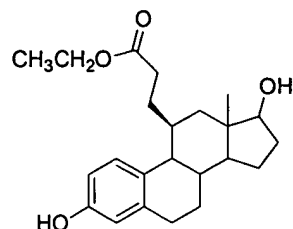


Figure 8 Exemplary Compounds of the Present Invention



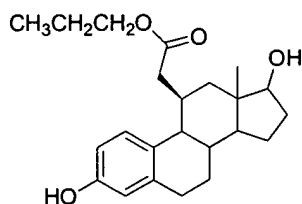
E11-3,1
weak antagonist

Methyl (3,17β-Dihydroxyestra-1,3,5(10)-trien-11β-yl)propanoate



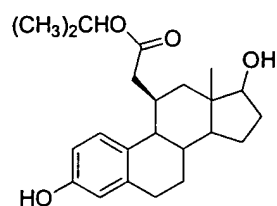
E11-3,2
weak antagonist

Ethyl (3,17β-Dihydroxyestra-1,3,5(10)-trien-11β-yl)propanoate



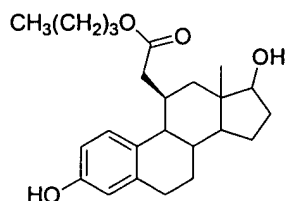
E11-2,3
very strong antagonist

Propyl (3,17β-Dihydroxyestra-1,3,5(10)-trien-11β-yl)acetate



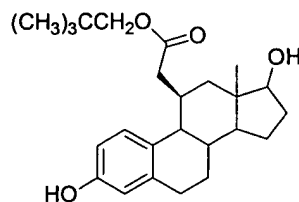
E11-2,3i
very strong antagonist

1-Methylethyl (3,17β-Dihydroxyestra-1,3,5(10)-trien-11β-yl)acetate



E11-2,4
very strong antagonist

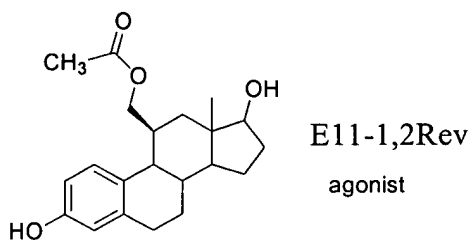
Butyl (3,17β-Dihydroxyestra-1,3,5(10)-trien-11β-yl)acetate



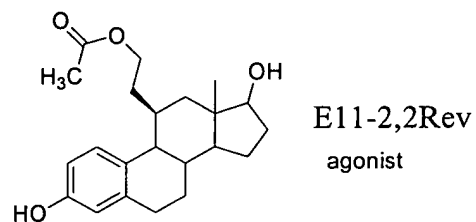
E11-2,5neo
very strong antagonist

2,2-Dimethylpropyl (3,17β-dihydroxyestra-1,3,5(10)-trien-11β-yl)acetate

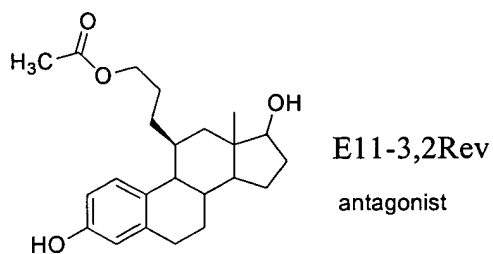
FIGURE 8 (Cont'd)



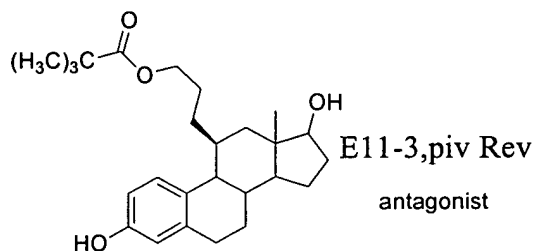
(3,17β-Dihydroxyestra-1,3,5(10)-trien-11β-yl)
methyl acetate



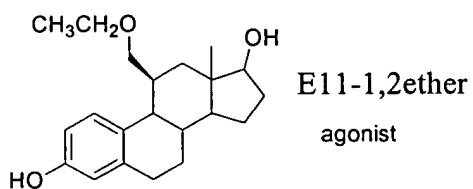
2'-(3,17β-Dihydroxyestra-1,3,5(10)-trien-11β-yl)
ethyl acetate



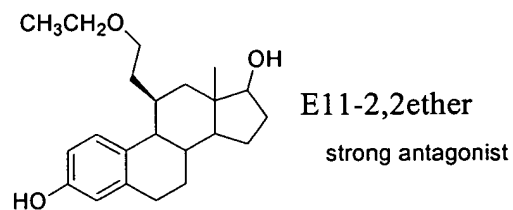
3'-(3,17β-Dihydroxyestra-1,3,5(10)-trien-11β-yl)
propyl acetate



3'-(3,17β-Dihydroxyestra-1,3,5(10)-trien-11β-yl)
propyl trimethylacetate

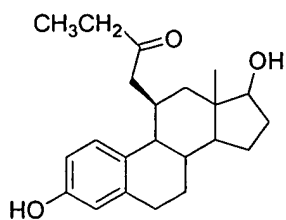


11β-(Ethoxymethyl)estra-1,3,5(10)-trien-
3,17β-diol



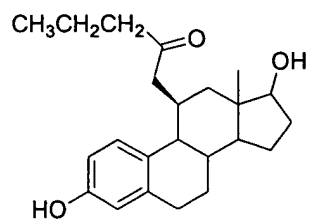
11β-(2-Ethoxyethyl)estra-1,3,5(10)-trien-
3,17β-diol

FIGURE 8 (Cont'd)



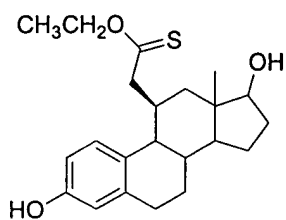
E11-2K2
weak agonist

1-(3,17β-Dihydroxyestra-1,3,5(10)-trien-11β-yl)
butan-2-one



E11-2K3
antagonist

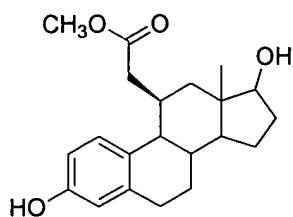
1-(3,17β-Dihydroxyestra-1,3,5(10)-trien-11β-yl)
pentan-2-one



E11-2S,2
antagonist

O-Ethyl (3,17β-dihydroxyestra-1,3,5(10)-trien-
11β-yl)thioacetate

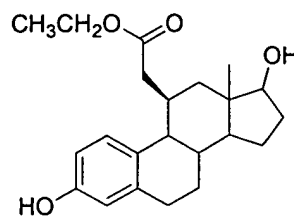
FIGURE 8 (Cont'd)



E11-2,1

agonist

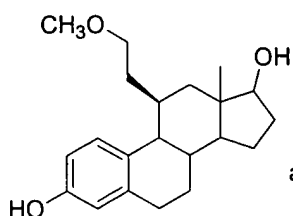
Methyl (3,17β-Dihydroxyestra-1,3,5(10)-trien-11β-yl)acetate



E11-2,2

strong antagonist

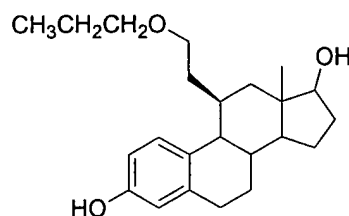
Ethyl (3,17β-Dihydroxyestra-1,3,5(10)-trien-11β-yl)acetate



E11-2,1ether

agonist / slight antagonist

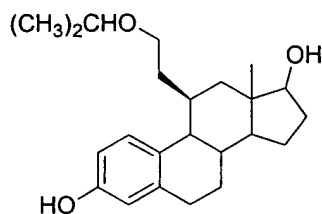
11β-(Methoxyethyl)estra-1,3,5(10)-trien-3,17β-diol



E11-2,3ether

strong antagonist

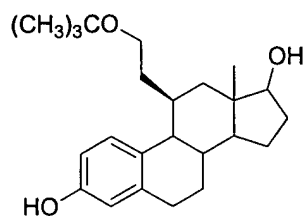
11β-(2-propoxyethyl)estra-1,3,5(10)-trien-3,17β-diol



E11-2,iPr ether

strong antagonist

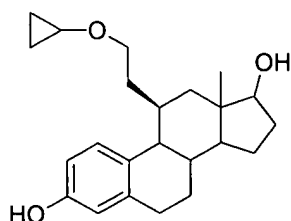
11β-(2-(1-methylethoxy)ethyl)estra-1,3,5(10)-trien-3,17β-diol



E11-2,tBu ether

very weak antagonist

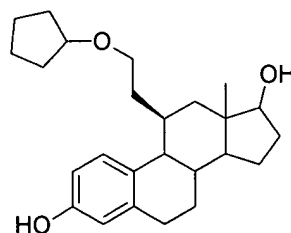
11β-(2-(1,1-dimethylethoxy)ethyl)estra-1,3,5(10)-trien-3,17β-diol



E11-2,cyclo-Pr ether

strong antagonist

11β-(2-cyclopropyloxyethyl)estra-1,3,5(10)-trien-3,17β-diol

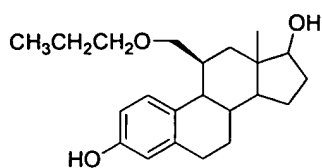


E11-2,cyclo-Pent ether

very strong antagonist

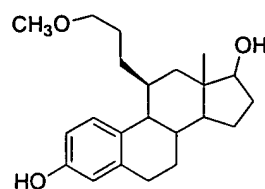
11β-(2-cyclopentyloxyethyl)estra-1,3,5(10)-trien-3,17β-diol

FIGURE 8 (Cont'd)



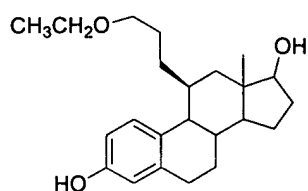
11β-(propoxymethyl)estra-
1,3,5(10)-trien-3,17β-diol

E11-1,3 ether
strong antagonist



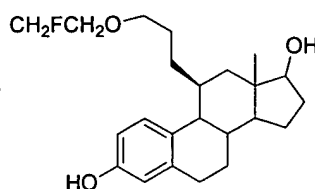
11β-(3-methoxypropyl)estra-
1,3,5(10)-trien-3,17β-diol

E11-3,1 ether
antagonist



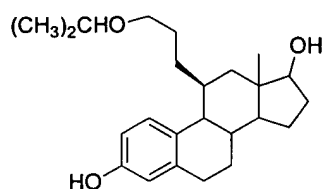
11β-(3-ethoxypropyl)estra-
1,3,5(10)-trien-3,17β-diol

E11-3,2 ether
strong antagonist



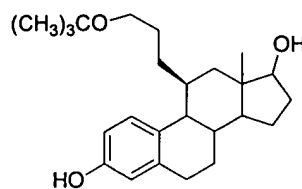
11β-(3-(2-fluoroethoxy)propyl)estra-
1,3,5(10)-trien-3,17β-diol

E11-3,2F₁ ether
strong antagonist



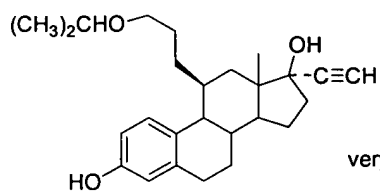
11β-(3-(1-methylethoxy)propyl)estra-
1,3,5(10)-trien-3,17β-diol

E11-3,iPr ether
strong antagonist



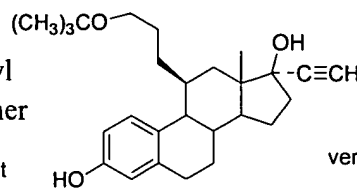
11β-(3-(1,1-dimethylethoxy)propyl)estra-
1,3,5(10)-trien-3,17β-diol

E11-3,tBu ether
very strong antagonist



17α-ethynyl-11β-(3-(1-methylethoxy)propyl)estra-
1,3,5(10)-trien-3,17β-diol

17α-Ethynyl
E11-3,iPr ether
very strong antagonist



17α-ethynyl-11β-(3-(1,1-dimethylethoxy)propyl)estra-
1,3,5(10)-trien-3,17β-diol

17α-Ethynyl
E11-3,tBu ether
very strong antagonist

FIGURE 9
SCHEME I

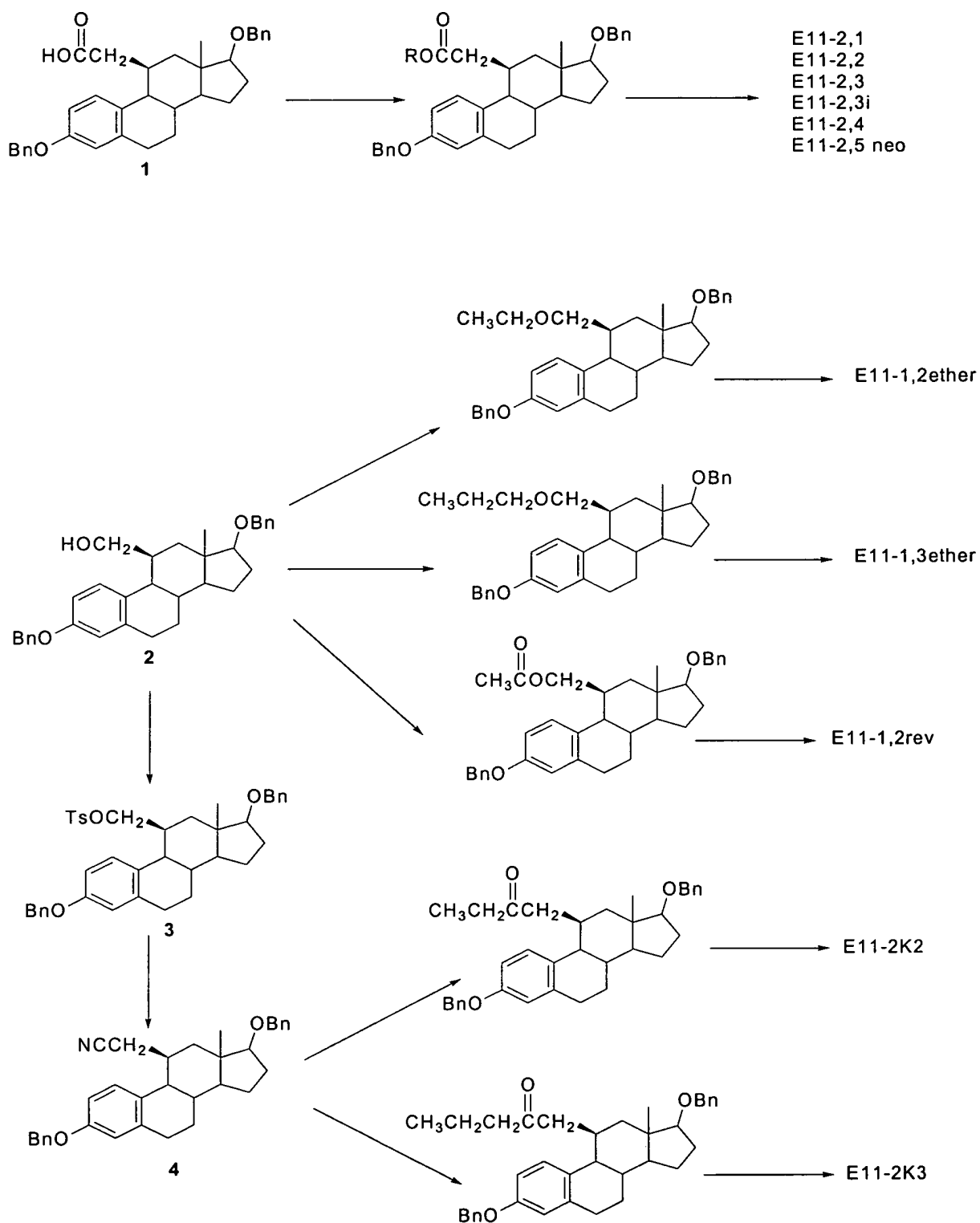


FIGURE 10
SCHEME 2

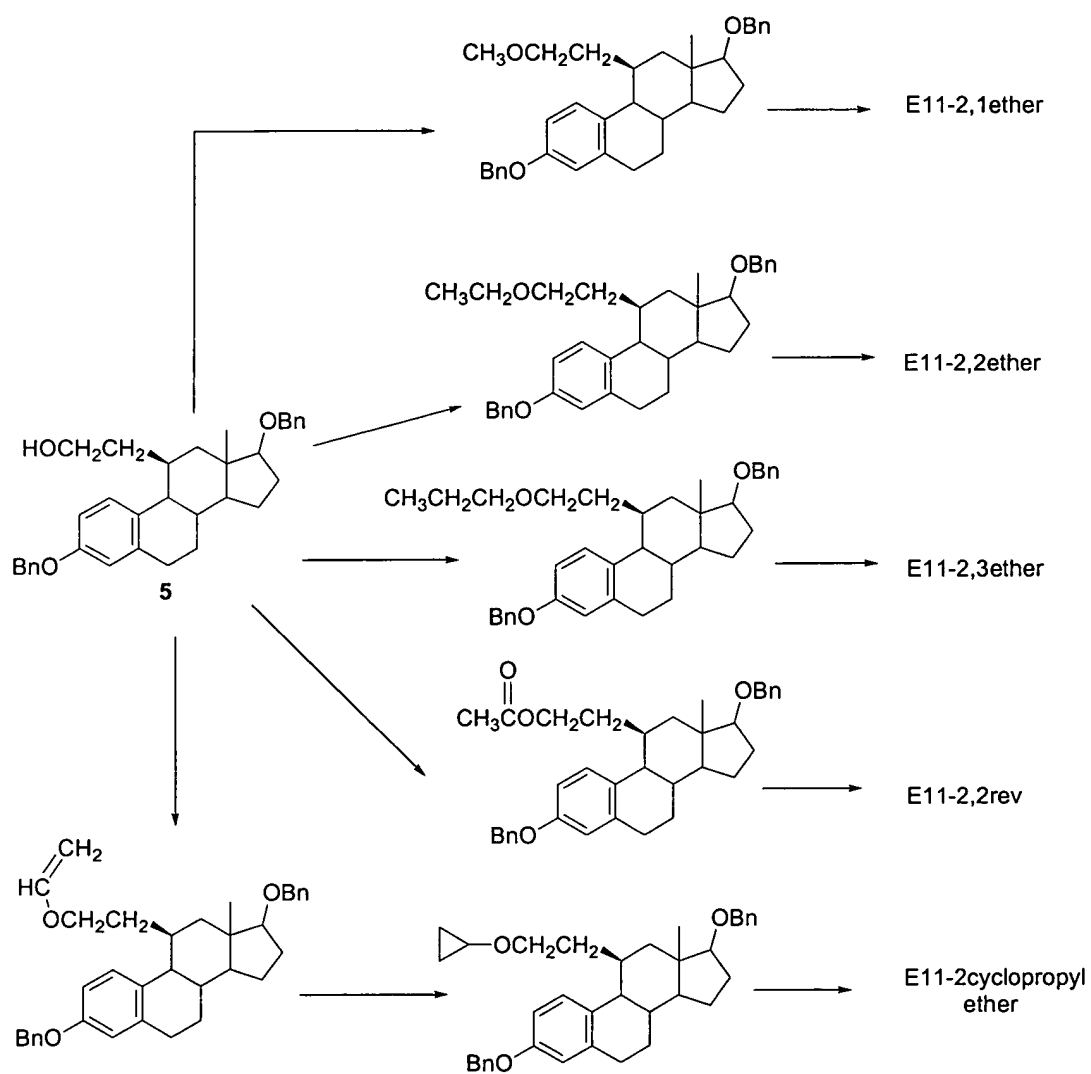


FIGURE 11
SCHEME 3

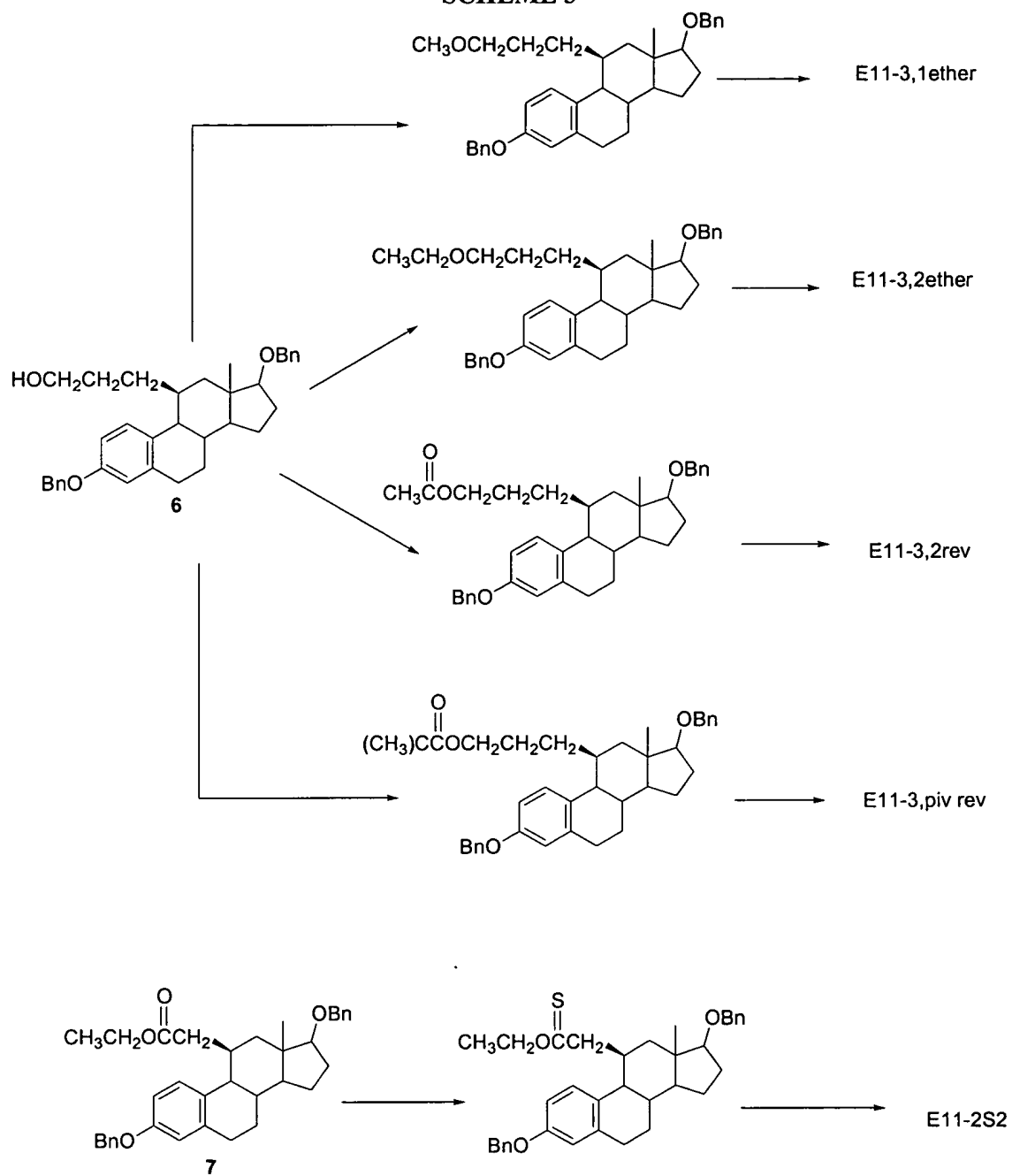


FIGURE 12
SCHEME 4

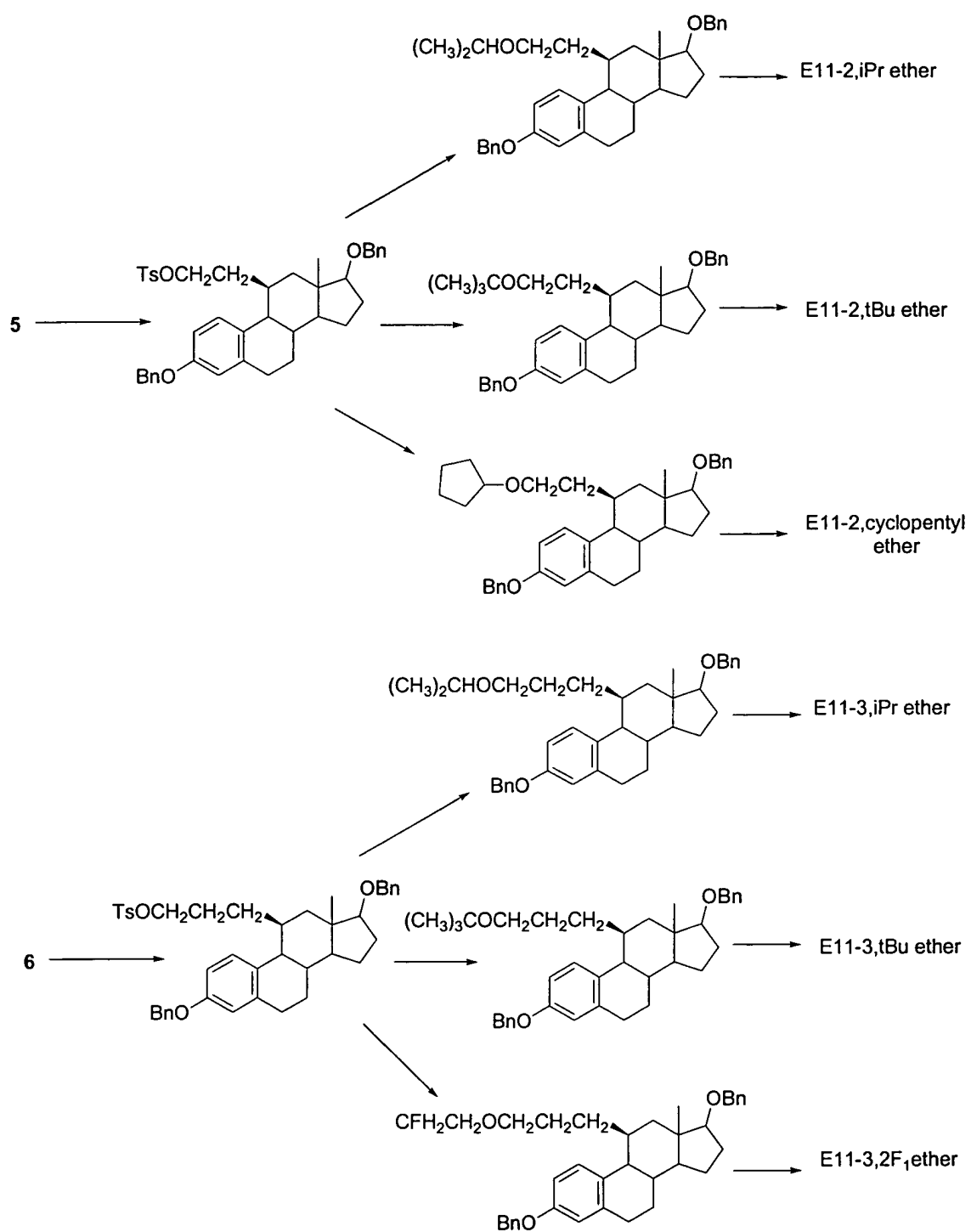


FIGURE 13
SCHEME 5

